## => d abs bib fhitstr 1-8 L3 ANSWER 1 OF 8 USPATFULL This invention relates to the use of a group of aryl ureas in treating AB raf mediated diseases, and pharmaceutical compositions for use in such therapy. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 2002:251820 USPATFULL Carboxyaryl substituted diphenyl ureas as raf kinase inhibitors TT Riedl, Bernd, Wuppertal, GERMANY, FEDERAL REPUBLIC OF IN Dumas, Jacques, Orange, CT, UNITED STATES Khire, Uday, Hamden, CT, UNITED STATES Lowinger, Timothy B., Nishinomiya City, CANADA Scott, William J., Guilford, CT, UNITED STATES Smith, Roger A., Madison, CT, UNITED STATES Wood, Jill E., Hamden, CT, UNITED STATES Monahan, Mary-Katherine, Hamden, CT, UNITED STATES Natero, Reina, Hamden, CT, UNITED STATES Renick, Joel, San Diego, CA, UNITED STATES Sibley, Robert N., North Haven, CT, UNITED STATES BAYER CORPORATION, Pittsburgh, PA (non-U.S. corporation) PΑ ΡI US 2002137774 A1 20020926 US 2001-907970 20010719 (9) ΑT A1 US 1999-115877P PRAI 19990113 (60) DT [ Utility FS APPLICATION MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE LREP 1400, ARLINGTON, VA, 22201 CLMN Number of Claims: 67 ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 3732 CAS INDEXING IS AVAILABLE FOR THIS PATENT. IT 284461-44-5P (prepn. of .omega.-carboxy(hetero)aryl substituted di-Ph urea raf

kinase inhibitors by reacting arylisocyanates with arylamines)

carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

2-Pyridinecarboxamide, 4-[4-[[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]

## L3 ANSWER 2 OF 8 USPATFULL

284461-44-5 USPATFULL

RN

CN

This invention relates to the use of a group of aryl ureas in treating AB raf mediated diseases, and pharmaceutical compositions for use in such therapy.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

2002:78859 USPATFULL AN

Omega-carboxyaryl substituted diphenyl ureas as raf kinase inhibitors TI

Uday, Khire, Hamden, CT, UNITED STATES IN

Dumas, Jacques, Orange, CT, UNITED STATES

Riedl, Bernd, Wuppertal, GERMANY, FEDERAL REPUBLIC OF

Lowinger, Timothy B., Nishinomiya City, JAPAN

Scott, William J., Guilford, CT, UNITED STATES

Smith, Roger A., Madison, CT, UNITED STATES

Wood, Jill E., Hamden, CT, UNITED STATES

Monahan, Mary-Katherine, Hamden, CT, UNITED STATES

Natero, Reina, Hamden, CT, UNITED STATES

Joel, Renick, Milford, CT, UNITED STATES

Sibley, Robert N., North Haven, CT, UNITED STATES

BAYER CORPORATION, Pittsburgh, PA, 15205 (U.S. corporation) PA

A1 PΙ US 2002042517 20020411

20010910 (9) AΙ US 2001-948915 A1

Continuation of Ser. No. US 1999-425228, filed on 22 Oct 1999, ABANDONED RLIContinuation-in-part of Ser. No. US 1999-257266, filed on 25 Feb 1999,

US 1999-115877P \ --19990113- (60)
Utility

PRAI DT

FS APPLICATION

MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE LREP 1400, ARLINGTON, VA, 22201

CLMN Number of Claims: 67

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 3675

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

284461-44-5P

(prepn. of .omega.-carboxy(hetero)aryl substituted di-Ph urea raf kinase inhibitors by reacting arylisocyanates with arylamines)

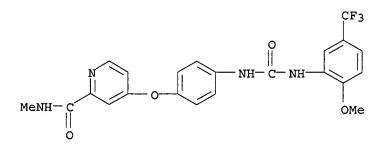
RN284461-44-5 USPATFULL

2-Pyridinecarboxamide, 4-[4-[[[[2-methoxy-5-(trifluoromethyl)phenyl]amino] CN carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

ANSWER 3 OF 8 USPATFULL 1.3

This invention relates to the use of a group of aryl ureas in treating AB raf mediated diseases, and pharmaceutical compositions for use in such therapy.

CAS INDEXING IS AVAILABLE FOR THIS PATENT. AN 2001:188813 USPATFULL Omega-carboxyaryl substituted diphenyl ureas as raf kinase inhibitors TI Riedl, Bernd, Wupperal, Germany, Federal Republic of IN Dumas, Jacques, Orange, CT, United States Khire, Uday, Hamden, CT, United States Lowinger, Timothy P., Nashnomya City, Japan Scott, William J., Gulford, CT, United States Smith, Roger A., Madison, CT, United States Wood, Jill E., Hamden, CT, United States Monahan, Mary-Katherine, Hamden, CT, United States Natero, Rena, Handen, CT, United States Renick, Joel, Milford, CT, United States Sibley, Robert N., North Haven, CT, United States PΙ US 2001034447 A1 20011025 US 2001-773604 ΑI A1 20010202 (9) RLI Continuation of Ser. No. US 1999-425228, filed on 22 Oct 1999, PENDING Continuation-in-part of Ser. No. US 1999-257266, filed on 25 Feb 1999, ABANDONED US 1999-115877P 19990113 (60) PRAI DT Utility FS APPLICATION MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE LREP 1400, ARLINGTON, VA, 22201 CLMN Number of Claims: 67 ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 3666 CAS INDEXING IS AVAILABLE FOR THIS PATENT. 284461-44-5P (prepn. of .omega.-carboxy(hetero)aryl substituted di-Ph urea raf kinase inhibitors by reacting arylisocyanates with arylamines) RN284461-44-5 USPATFULL CN2-Pyridinecarboxamide, 4-[4-[[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]



L3 ANSWER 4 OF 8 USPATFULL

AB This invention relates to the use of a group of aryl ureas in treating raf mediated diseases, and pharmaceutical compositions for use in such therapy.

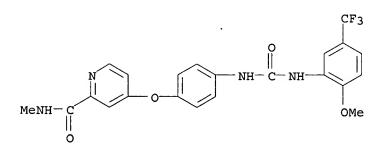
carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2001:171152 USPATFULL

TI Omega-carboxyaryl substituted disphenyl ureas as raf kinase inhibitors

Riedl, Bernd, Wuppertal, Germany, Federal Republic of Dumas, Jaques, Orange, CT, United States Khire, Uday, Hamden, CT, United States Lowinger, Timothy B., Nishinomiya City, Japan Scott, William J., Guilford, CT, United States Smith, Roger A., Madison, CT, United States Wood, Jill E., Hamden, CT, United States Monahan, Mary-Katherine, Hamden, CT, United States Natero, Reina, Hamden, CT, United States Renick, Joel, Milford, CT, United States Sibley, Robert N., Noth Haven, CT, United States US 2001027202 PΙ A1 20011004 20010202 (9) AΙ US 2001-773658 A1 Continuation of Ser. No. US 1999-425228, filed on 22 Oct 1999, PENDING RLI Continuation-in-part of Ser. No. US 1999-257266, filed on 25 Feb 1999, 19990113 (60) ABANDONED US 1999-115877P PRAI DT Utility APPLICATION FS MILLEN, WHITE, ZELANO & BRANIGAN, P.C., Arlington Courthouse Plaza I, LREP Suite 1400, 2200 Clarendon Boulevard, Arlington, VA, 22201 CLMN Number of Claims: 67 ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 3656 CAS INDEXING IS AVAILABLE FOR THIS PATENT. 284461-44-5P (prepn. of .omega.-carboxy(hetero)aryl substituted di-Ph urea raf kinase inhibitors by reacting arylisocyanates with arylamines) RN 284461-44-5 USPATFULL CN 2-Pyridinecarboxamide, 4-[4-[[[[2-methoxy-5-(trifluoromethyl)phenyl]amino] carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



L3 ANSWER 5 OF 8 USPATFULL

AB This invention relates to the use of a group of aryl ureas in treating raf mediated diseases, and pharmaceutical compositions for use in such therapy.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2001:139616 USPATFULL

TI Omega-carboxyaryl substituted diphenyl ureas as raf kinase inhibitors

IN Riedl, Bernd, Wupperal, Germany, Federal Republic of

Dumas, Jacques, Orange, CT, United States Khire, Uday, Hamden, CT, United States Lowinger, Timothy B., Nashnomya City, Japan

Scott, William J., Gulford, CT, United States Smith, Roger A., Madison, CT, United States Wood, Jill E., Hamden, CT, United States

Monahan, Mary-Katherine, Hamden, CT, United States

Natero, Rena, Hamden, CT, United States Renick, Joel, Milford, CT, United States

Sibley, Robert N., North Haven, CT, United States

PΙ US 2001016659 A1 20010823

US 2001-773672 **A1** 20010202 (9) AΤ

Continuation of Ser. No. US 1999-425228, filed on 22 Oct 1999, PENDING RLT Continuation-in-part of Ser. No. US 1999-257266, filed on 25 Feb 1999, ABANDONED

US 1999-115877P 19990113 (60) PRAI

DT Utility

APPLICATION FS

LREP MILLEN, WHITE, ZELANO & BRANIGAN, P.C., 2200 CLARENDON BLVD., SUITE 1400, ARLINGTON, VA, 22201

CLMN Number of Claims: 67 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 3652

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

284461-44-5P

(prepn. of .omega.-carboxy(hetero)aryl substituted di-Ph urea raf kinase inhibitors by reacting arylisocyanates with arylamines)

RN 284461-44-5 USPATFULL

CN 2-Pyridinecarboxamide, 4-[4-[[[[2-methoxy-5-(trifluoromethyl)phenyl]amino] carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

ANSWER 6 OF 8 USPATFULL 1.3

This invention relates to the use of a group of aryl ureas in treating AB raf mediated diseases, and pharmaceutical compositions for use in such therapy.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

2001:123628 USPATFULL AN

TΤ omega-carboxyyaryl substituted diphenyl ureas as raf kinase inhibitors

IN Riedl, Bernd, Wuppertal, Germany, Federal Republic of

Dumas, Jacques, Orange, CT, United States Khire, Uday, Hamden, CT, United States

Lowinger, Timothy B., Nishinomiya City, Japan

Scott, William J., Guilford, CT, United States

Smith, Roger A., Madison, CT, United States

Wood, Jill E., Hamden, CT, United States

Monahan, Mary-Katherine, Hamden, CT, United States

Natero, Reina, Hamden, CT, United States Renick, Joel, Milford, CT, United States

Sibley, Robert N., North Haven, CT, United States

PI US 2001011136 A1 20010802 AI US 2001-773675 A1 20010202 (9)

RLI Continuation of Ser. No. US 1999-425228, filed on 22 Oct 1999, PENDING Continuation-in-part of Ser. No. US 1999-257266, filed on 25 Feb 1999, ABANDONED

PRAI US 1999-115877P 19990113 (60)
DT Utility
FS APPLICATION

LREP MILLEN, WHITE, ZELANO & BRANIGAN, P.C., Suite 1400, 2200 Clarendon

Blvd., Arlington, VA, 22201

CLMN Number of Claims: 67 ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 3646

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 284461-44-5P

(prepn. of .omega.-carboxy(hetero)aryl substituted di-Ph urea raf kinase inhibitors by reacting arylisocyanates with arylamines)

RN 284461-44-5 USPATFULL

CN 2-Pyridinecarboxamide, 4-[4-[[[[2-methoxy-5-(trifluoromethyl)phenyl]amino] carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L3 ANSWER 7 OF 8 USPATFULL

AB This invention relates to the use of a group of aryl ureas in treating raf mediated diseases, and pharmaceutical compositions for use in such therapy.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2001:123627 USPATFULL

TI Omega-carboxyaryl subsituted diphenyl ureas as raf kinase inhibitors

IN Riedl, Bernd, Wuppertal, Germany, Federal Republic of

Dumas, Jacques, Orange, CT, United States Khire, Uday, Hamden, CT, United States

Lowinger, Timothy B., Nishinomiya City, Japan Scott, William J., Guilford, CT, United States

Smith, Roger A., Madison, CT, United States

Wood, Jill E., Hamden, CT, United States

Monahan, Mary-Katherine, Hamden, CT, United States

Natero, Reina, Hamden, CT, United States Renick, Joel, Milford, CT, United States

Sibley, Robert N., North Haven, CT, United States

PI US 2001011135 A1 20010802

AΤ US 2001-773659 A1 20010202 (9)

Continuation of Ser. No. US 1999-425228, filed on 22 Oct 1999, PENDING RLI Continuation-in-part of Ser. No. US 1999-257266, filed on 25 Feb 1999,

US 1999-115877P PRAI

19990113 (60)

DT Utility

FS APPLICATION

MILLEN, WHITE, ZELANO & BRANIGAN, P.C., Suite 1400, Arlington Courthouse LREP Plaza 1, Arlington, VA, 22201

Number of Claims: 67 CLMN ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 3686

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 284461-44-5P

(prepn. of .omega.-carboxy(hetero)aryl substituted di-Ph urea raf kinase inhibitors by reacting arylisocyanates with arylamines)

RN284461-44-5 USPATFULL

CN 2-Pyridinecarboxamide, 4-[4-[[[[2-methoxy-5-(trifluoromethyl)phenyl]amino] carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L3 ANSWER 8 OF 8 USPATFULL

AB The present invention relates to novel quinoline derivatives and quinazoline derivatives represented by the following formula (I): ##STR1## [wherein R.sub.1 and R.sub.2 are each independently H or C.sub.1 -C.sub.4 -alkyl, or R.sub.1 and R.sub.2 together form C.sub.1 -C.sub.3 -alkylene, X is O, S or CH.sub.2, W is CH or N, and Q is a substituted aryl group or substituted heteroaryl group] and their pharmaceutically acceptable salts, having platelet-derived growth factor receptor autophosphorylation inhibitory activity, to pharmaceutical compositions containing these compounds, and to methods for the treatment of diseases associated with abnormal cell growth such as tumors.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AN 2000:150184 USPATFULL

ΤТ Quinoline and quinazoline derivatives inhibiting platelet-derived growth factor receptor autophosphorylation and pharmaceutical compositions containing the same

Kubo, Kazuo, Takasaki, Japan IN Ohyama, Shinichi, Takasaki, Japan Shimizu, Toshiyuki, Takasaki, Japan Nishitoba, Tsuyoshi, Takasaki, Japan Kato, Shinichiro, Takasaki, Japan Murooka, Hideko, Takasaki, Japan

Kobayashi, Yoshiko, Takasaki, Japan Kirin Beer Kabushiki Kaisha, Tokyo-to, Japan (non-U.S. corporation) PA US 6143764 20001107 PIWO 9717329 19970515 19980506 (9) ΑI US 1998-68660 WO 1996-JP3229 19961105 19980506 PCT 371 date 19980506 PCT 102(e) date PRAI JP 1995-313555 19951107 JP 1996-62121 19960223 DTUtility FS Granted EXNAM Primary Examiner: Seaman, D. Margaret Foley & Lardner LREP CLMN Number of Claims: 52 ECL Exemplary Claim: 1 DRWN No Drawings LN.CNT 5569 CAS INDEXING IS AVAILABLE FOR THIS PATENT. IT 190727-78-7P (prepn. of quinoline and quinazoline derivs. inhibiting platelet-derived growth factor receptor autophosphorylation) RN190727-78-7 USPATFULL CN Urea, N-[2,5-bis(trifluoromethyl)phenyl]-N'-[4-[(6,7-dimethoxy-4quinolinyl)oxy]phenyl] - (9CI) (CA INDEX NAME)

=> s 12

L4 10 L2

=> d abs bib fhitstr 1-10

L4 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2002 ACS

AB Title compds. B-NHCONH-L-(M-L1)q (I) [B = (un) substituted pyridyl, quinolinyl, isoquinolinyl; L = 5 or 6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having at least one atom; q = 1-3; with proviso that L and L1 contain 0-4 hetero atoms, e.g., N, O and S] and their pharmaceutically acceptable salts were prepd. For example, coupling of aniline II, e.g., prepd. from Et 3-hydroxybenzoate in 4-steps, with bis(trichloromethyl)carbonate followed by 3-tert-butylaniline afforded urea III. In in vitro raf kinase assays, 112-specific examples of compds. I inhibited kinase activity with IC50 values ranging from 10 nM-10 .mu.M. Compds. I are useful for the treatment of cancerous cell growth mediated by raf kinase.

AN 2002:850357 CAPLUS

DN 137:352907

TI Preparation of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase for the treatment of tumors and/or cancerous cell growth

IN Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Robert, Sibley
N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger,
Timothy B.; Scott, William J.; Smith, Roger A.

PA Bayer Corporation, USA

SO U.S. Pat. Appl. Publ., 63 pp., Cont.-in-part of U.S. Ser. No. 758,548. CODEN: USXXCO

DT Patent

LA English

FAN. CNT 3

| FAN. | CNT 3         |         |         |             |                       |                   |  |  |  |
|------|---------------|---------|---------|-------------|-----------------------|-------------------|--|--|--|
|      | PATENT        | NO.     | KIND    | DATE        | APPLICATION NO.       | DATE              |  |  |  |
|      |               |         |         |             |                       |                   |  |  |  |
| PI   | US 2002       | 165394  | A1      | 20021107    | US 2001-777920        | 20010207          |  |  |  |
|      | US 2002       | 137774  | A1      | 20020926    | US 2001-907970        | 20010719          |  |  |  |
|      | WO 2002062763 |         | A2      | 20020815    | WO 2002-US3361        | 20020207          |  |  |  |
|      | WO 2002062763 |         | A3      | 20021010    |                       |                   |  |  |  |
|      | W:            | AE, AG, | AL, AM, | , AT, AU, A | Z, BA, BB, BG, BR, BY | , BZ, CA, CH, CN, |  |  |  |
|      |               | CO, CR, | CU, CZ, | , DE, DK, I | M, DZ, EC, EE, ES, FI | , GB, GD, GE, GH, |  |  |  |
|      |               | GM, HR, | HU, ID, | , IL, IN, I | S, JP, KE, KG, KP, KR | , KZ, LC, LK, LR, |  |  |  |

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG PRAI US 1999-115877P Р 19990113 US 1999-257266 19990225 B2 US 1999-425228 B2 19991022 US 2001-758548 A2 20010112 US 2001-777920 20010207 Α IT 284461-44-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of quinolyl, isoquinolyl or pyridyl-ureas as inhibitors of raf kinase)

RN 284461-44-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[4-[[[[2-methoxy-5-(trifluoromethyl)phenyl]amino] carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2002 ACS

AB Various signaling pathways can confer the malignant phenotype to a cell. Ras signaling proteins have been found to play an important role in controlling cellular growth. Raf-1 is a protein kinase that exerts its effects downstream of Ras in the mitogen-activated protein kinase pathway and is thus likely to be crucial in the development of the malignant phenotype. BAY 43-9006 is an orally administered selective inhibitor of Raf-1 and the first compd. of its class to enter clin. trials. This article describes the early clin. data of BAY 43-9006 in patients with advanced, refractory solid tumors. To date, over 60 patients have been treated as part of four Phase I clin. trials. Dose levels have ranged from 50mg once weekly to 200mg twice-daily in continuous administration. The drug has been generally well tolerated with no dose limiting toxicity yet encountered. The more common toxicities have involved the gastrointestinal tract (diarrhea, nausea, abdominal cramping) and the skin (pruritus, rash, cheilitis). Pharmacokinetic evaluations have found BAY 43-9006 to have considerable interpatient variability. However, there seems to be an increase in Cmax and AUC values with increasing dose. There is no clear effect of food on bioavailability. Splitting the dose to twice-daily administration has shown increases in Cmax and AUC values but is also accompanied by considerable interpatient variability.

AN 2002:785444 CAPLUS

TI BAY 43-9006: Early clinical data in patients with advanced solid malignancies

AU Hotte, Sebastien J.; Hirte, Hal W.

CS Department of Medicine, Hamilton Regional Cancer Centre, McMaster University and Division of Medical Oncology, Hamilton, ON, Can.

SO Current Pharmaceutical Design (2002), 8(25), 2249-2253

CODEN: CPDEFP; ISSN: 1381-6128

PB Bentham Science Publishers

DT Journal; General Review

LA English

IT 475207-59-1

RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(BAY 43-9006 for patients with advanced solid neoplasm)

RN 475207-59-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 284461-73-0 CMF C21 H16 Cl F3 N4 O3

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2002 ACS GI

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CMe<sub>3</sub>

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NHMe
                                          ΙI
       Η
            Η
     Title compds., e.g., RNHCONHZOR1 [I; R = C6H4(CMe3)-3,
AB
     2-methoxy-5-trifluoromethylphenyl, 4-chloro-3-trifluoromethylphenyl,
     2-methoxy-3-quinolyl, etc.; R1 = (un)substituted acylphenyl,
     -acylpyridinyl, etc.; Z = (un)substituted 1,3- or -1,4-phenylene] were
     prepd. Thus, 4-(H2N)C6H4OC6H4(CONHMe)-4 (prepn. given) was condensed with
     3-(Me3C)C6H4NH2 and CO(OCCl3)2 to give title compd. II. Data for biol.
     activity of title compds. were given.
     2002:615574 CAPLUS
AN
DN
     137:169425
     Preparation of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase
ΤI
     inhibitors
IN
     Dumas, Jacques; Riedl, Bernd; Khire, Uday; Wood, Jill E.; Sibley, Robert
     N.; Monahan, Mary-Katherine; Renick, Joel; Gunn, David E.; Lowinger,
     Timothy B.; Scott, William J.; Smith, Roger A.
PA
     Bayer Corporation, USA
SO
     PCT Int. Appl., 125 pp.
     CODEN: PIXXD2
DT
     Patent
TιA
     English
FAN.CNT 3
                                           APPLICATION NO. DATE
     PATENT NO.
                      KIND DATE
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PΙ
     WO 2002062763
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                            20020815
                                           WO 2002-US3361
                                                             20020207
     WO 2002062763
                      A3
                            20021010
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2002165394
                            20021107
                                           US 2001-777920
                       A1
PRAI US 2001-777920
                            20010207
                       Α
     US 1999-115877P
                            19990113
                       Р
     US 1999-257266
                       B2
                            19990225
     US 1999-425228
                       B2
                            19991022
     US 2001-758548
                       A2
                            20010112
OS
     MARPAT 137:169425
IT
     284461-44-5P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of N-aryl-N'-[(acylphenoxy)phenyl]ureas as raf kinase
        inhibitors)
RN
     284461-44-5 CAPLUS
     2-Pyridinecarboxamide, 4-[4-[[[[2-methoxy-5-(trifluoromethyl)phenyl]amino]
CN
```

carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2002 ACS

AB The title compds. [I; E = (un) substituted aryl, heteroaryl; A = aryl, heteroaryl, heterocyclyl; X = S, O, SO2, SO, CH2, CHOH, CO; Z = O, S; p = O0-1; q = 0-1; D = CH, T = CR8, M = C and Q = NT7p, wherein p = 0 and q = 01; or D = CH, T = CR8, M = C and Q = NR7p, wherein p = 1 and q = 0, or D = 1CH, T = CR8, M = C and Q = S or O, wherein q = 0; or D = N, T = CR8, M = Cand Q = NR7p, wherein either p or q = 0 and the other = 1; or D = CH, T =N, M = C and Q = NR7p, wherein either p or q = 0 and the other = 1; or D = CH, T = CR8, M = N and Q = CH, wherein q = 0; R1 = alkyl, haloalkyl, aryl, etc.; R2 = H, alkyl, aryl, etc.; R3 = alkylene or alkylene substituted by oxo, and is linked together with N atom to which it is attached and to one of the benzimidazole N atoms to form a heterocyclic compd. fused to the benzimidazole; R7 = H, alkyl, etc.; R8 = H, halo] and their salts, useful in the treatment of hyperproliferative diseases, were prepd. Thus, reacting Me [5-(4-aminophenoxy)-1H-benzimidazol-2-yl]carbamate (prepn. given) with 3-chlorophenyl isocyanate in THF afforded 69% II which showed pIC50 of > 7.0 in TIE-2 and VEGFR2 enzyme assays.

ΙI

```
2002:428885 CAPLUS
     137:6179
DN
     Preparation of benzimidazoles as TIE-2 and/or VEGFR2 inhibitors
TI
     Cheung, Mui; Harris, Philip Anthony; Hasegawa, Masaichi; Ida, Satoru;
TN
     Kano, Kazuya; Nishigaki, Naohiko; Sato, Hideyuki; Veal, James Martin;
     Washio, Yoshiaki; West, Rob I.
     Glaxo Group Limited, UK; Glaxosmithkline K.K.
PA
     PCT Int. Appl., 217 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
    English
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
     _____
                      ____
                                           -----
                           20020606
                                          WO 2001-US44553 20011128
PΤ
     WO 2002044156
                      A2
     WO 2002044156
                      А3
                            20021017
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
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             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                      Α5
                           20020611
                                          AU 2002-32439
                                                           20011128
     AU 2002032439
PRAI US 2000-253868P
                       Р
                            20001129
     US 2001-310939P
                       P
                            20010808
     WO 2001-US44553
                       W
                            20011128
os
     MARPAT 137:6179
     433224-71-6P
IT
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of benzimidazoles as TIE-2 and/or VEGFR2 inhibitors)
RN
     433224-71-6 CAPLUS
     Carbamic acid, [5-[4-[[[[2-fluoro-5-(trifluoromethyl)phenyl]amino]carbonyl
CN
     [] amino] phenoxy] -1H-imidazo[4,5-b] pyridin-2-yl] -, methyl ester,
     dihydrochloride (9CI) (CA INDEX NAME)
```

•2 HCl

L4 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2002 ACS

AB This invention relates to the prepn. and use of (hetero)aryl ureas ANHCONHB [I; A = L(ML1)q; L = 5- or 6-membered (hetero)aryl, esp. Ph or pyridinyl; M = bridging group; L1 = (hetero)aryl with at least one (un)substituted sulfamoyl, carboxy, or carbamoyl substituent; q = 1-3; B = certain (un)substituted mono- to tricyclic aryl or heteroaryl groups] for the treatment of raf mediated diseases, such as cancer (no data). Approx. 100 invention compds. and numerous intermediates were prepd. For instance, 3-tert-butylaniline was coupled with bis(trichloromethyl)carbonate to form the isocyanate, followed by addn. of 4-(3-N-methylcarbamoylphenoxy)aniline (prepn. given) to afford the urea II.

- AN 2000:493516 CAPLUS
- DN 133:120157
- TI Preparation of .omega.-carboxy(hetero)aryl substituted diphenyl ureas as raf kinase inhibitors
- IN Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott,
  William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine;
  Natero, Reina; Renick, Joel; Sibley, Robert N.
- PA Bayer Corporation, USA
- SO PCT Int. Appl., 120 pp.
  - CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 3

| FAN.CNT 3  |               |               |        |             |          |     |                |                 |     |     |          |          |          |     |     |     |
|------------|---------------|---------------|--------|-------------|----------|-----|----------------|-----------------|-----|-----|----------|----------|----------|-----|-----|-----|
| PATENT NO. |               |               | K      | KIND DATE   |          |     |                | APPLICATION NO. |     |     |          | ο.       | DATE     |     |     |     |
|            |               |               |        |             |          |     |                |                 |     |     |          |          |          |     |     |     |
| ΡI         | WO 2000       | WO 2000042012 |        | A1 20000720 |          |     |                | WO 2000-US648   |     |     |          |          | 20000112 |     |     |     |
|            | ₩:            | AE, A         | AL, AM | , AT,       | AU,      | AZ, | BA,            | BB,             | BG, | BR, | BY,      | CA,      | CH,      | CN, | CR, | CU, |
|            |               | CZ, I         | DE, DK | , DM,       | EE,      | ES, | FI,            | GB,             | GD, | GE, | GH,      | GM,      | HR,      | HU, | ID, | IL, |
|            |               |               | IS, JP |             |          |     |                |                 |     |     |          |          |          |     |     |     |
|            |               |               | MG, MK |             |          |     |                |                 |     |     |          |          |          |     |     |     |
|            |               |               | SL, TJ |             |          |     |                |                 |     |     |          |          |          |     |     |     |
|            |               | AZ, E         | BY, KG | , KZ,       | MD,      | RU, | ТJ,            | TM              |     |     |          |          |          |     |     |     |
|            | RW:           | GH, C         | GM, KE | , LS,       | MW,      | SD, | SL,            | SZ,             | TZ, | UG, | ZW,      | AT,      | BE,      | CH, | CY, | DE, |
|            |               | DK, E         | ES, FI | , FR,       | GB,      | GR, | ΙE,            | IT,             | LU, | MC, | NL,      | PT,      | SE,      | BF, | ВJ, | CF, |
|            |               | CG, C         | CI, CM | , GA,       | GN,      | GW, | ML,            | MR,             | NE, | SN, | TD,      | TG       |          |     |     |     |
|            | EP 1140840    |               |        | A1 20011010 |          |     | EP 2000-903239 |                 |     |     | 20000112 |          |          |     |     |     |
|            | R:            | AT, E         | BE, CH | , DE,       | DK,      | ES, | FR,            | GB,             | GR, | IT, | LI,      | LU,      | NL,      | SE, | MC, | PT, |
|            |               | IE, S         | SI, LT | , LV,       | FI,      | RO  |                |                 |     |     |          |          |          |     |     |     |
|            | US 2001011135 |               | 5      | A1 20010802 |          |     |                | US 2001-773659  |     |     |          | 20010202 |          |     |     |     |
|            | US 2001       | US 2001011136 |        | <b>A1</b>   | 20010802 |     |                | US 2001-773675  |     |     | 5        | 20010202 |          |     |     |     |
|            | US 2001       | 2001016659    |        | A1 20010823 |          |     | US 2001-773672 |                 |     | 2   | 20010202 |          |          |     |     |     |
|            | US 2001       | 3 2001027202  |        | A1 20011004 |          |     | US 2001-773658 |                 |     | 3   | 20010202 |          |          |     |     |     |
|            | US 2001       | US 2001034447 |        |             |          |     |                | US 2001-773604  |     |     | 1        | 20010202 |          |     |     |     |
|            | NO 2001003463 |               | 3 .    |             |          |     |                |                 |     |     |          |          |          |     |     |     |
|            |               |               |        |             |          |     |                |                 |     |     |          |          |          |     |     |     |

|      | US 2002137774     | A1 | 20020926 | US | 2001-907970 | 20010719 |
|------|-------------------|----|----------|----|-------------|----------|
|      | US 2002042517     | A1 | 20020411 | US | 2001-948915 | 20010910 |
| PRAI | US 1999-115877P   | P  | 19990113 |    |             |          |
|      | US 1999-257266    | A2 | 19990225 |    |             |          |
|      | US 1999-425228    | A2 | 19991022 |    |             |          |
|      | WO 2000-US648     | W  | 20000112 |    |             |          |
| os   | MARPAT 133:120157 |    |          |    |             |          |

IT 284461-44-5P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of .omega.-carboxy(hetero)aryl substituted di-Ph urea raf kinase inhibitors by reacting arylisocyanates with arylamines)

RN 284461-44-5 CAPLUS

CN2-Pyridinecarboxamide, 4-[4-[[[2-methoxy-5-(trifluoromethyl)phenyl]amino] carbonyl]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 12 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 6 OF 10 CAPLUS COPYRIGHT 2002 ACS L4GI

$$\begin{array}{c|c} CF_3 & & & & \\ \hline \\ N & N & \\ N & H & \\ \end{array}$$

The title compds. ADB [I; D = NHCONH; A = substituted moiety of up to 40 AB carbon atoms of the formula L(ML1)q (wherein L = 5-6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having al least one atom; q = 1-3; each of L and L1 contains 0-4 members of the group consisting of N, O and S); B = (un) substituted up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with at least one 6-member cyclic structure bound directly to D contg. 0-4 members of the group consisting of N, O and S], useful in treating p38 mediated diseases, were prepd. E.g., a multi-step synthesis of the urea II which showed IC50 of 1-10 .mu.M against p38, was given. Compds. I are effective at 0.01-200 mg/kg/day (oral administration).

```
2000:493376 CAPLUS
AN
DN
     133:120155
     Preparation of .omega.-carboxy aryl substituted diphenyl ureas as p38
ΤI
     kinase inhibitors
     Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott,
IN
     William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine;
     Natero, Reina; Renick, Joel; Sibley, Robert N.
     Bayer Corporation, USA
PA
     PCT Int. Appl., 148 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 2
                      KIND DATE
                                          APPLICATION NO. DATE
     PATENT NO.
                                           -----
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PΙ
     WO 2000041698
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                                           WO 2000-US768
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             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
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             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         EP 2000-905597
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     EP 1158985
                          20011205
                      A1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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PRAI US 1999-115878P
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     US 1999-257265
                       A2
                            19990225
     US 1999-425229
                            19991022
                       Α2
     WO 2000-US768
                            20000113
                       W
     MARPAT 133:120155
OS
     284461-86-5P
IT
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
     (Reactant or reagent); USES (Uses)
        (prepn. of .omega.-carboxy aryl substituted di-Ph ureas as p38 kinase
        inhibitors)
RN
     284461-86-5 CAPLUS
     2-Pyridinecarboxylic acid, 5-[4-[[[[4-chloro-3-
CN
     (trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-, methyl ester (9CI)
       (CA INDEX NAME)
                                            Cl
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RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2002 ACS

Print selected from Online session12:57Page 9

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A method of treating a p-38 mediated disease other than cancer comprises
     administration of BNHCONHA [A = (substituted) Ph, pyridyl, 2-thienyl; B =
     (substituted) aryl, heteroaryl contg. .gtoreq.1 6-membered arom. structure
     contq. 0-4 N, O, or S atoms]. Thus, 5-tert-butyl-2-(3-
     tetrahydrofuranyloxy)aniline (prepn. given) and p-tolyl isocyanate were
     stirred 8 h in PhMe to give 75% N-(5-tert-butyl-2-(3-
     tetrahydrofuranyloxy)phenyl)-N'-(4-methylphenyl)urea. Title compds.
     inhibited p38 kinase with IC50 = 1-10 .mu.M.
ΑN
     1999:421667 CAPLUS
DN
     131:58659
     Preparation of diaryl ureas as inhibitors of p38 kinase.
TI
     Miller, Scott; Osterhout, Martin; Dumas, Jacques; Khire, Uday; Lowinger,
IN
     Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood,
     Jill E.; Gunn, David; Hatoum-Mokdad, Holia; Rodriguez, Mareli; Sibley,
     Robert; Wang, Ming
     Bayer Corporation, USA
PΑ
SO
     PCT Int. Appl., 107 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
                     KIND DATE
                                          APPLICATION NO. DATE
     PATENT NO.
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                                           WO 1998-US27265 19981222
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     WO 9932463
                     A1
                            19990701
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                                                            19981222
                       A1
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                                           EP 1998-964221
     EP 1042305
                       A1
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                                                            19981222
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                                           JP 2000-525400
     JP 2001526276
                            20011218
PRAI US 1997-995749
                            19971222
                       Α
     WO 1998-US27265
                            19981222
                       W
     MARPAT 131:58659
OS
     228399-74-4P
IT
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of diaryl ureas as inhibitors of p38 kinase)
     228399-74-4 CAPLUS
RN
     Urea, N-[2-methoxy-5-(trifluoromethyl)phenyl]-N'-[4-(4-
CN
     pyridinyloxy)phenyl] - (9CI) (CA INDEX NAME)
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RE.CNT THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4ANSWER 8 OF 10 CAPLUS COPYRIGHT 2002 ACS GΙ

AB The invention relates to the use of a group of aryl ureas ANHCONHB [I; A = certain (un) substituted Ph, pyridinyl, or thien-2-yl groups; B = certain (un) substituted mono- to tricyclic aryl or heteroaryl groups] in treating raf-mediated diseases, and pharmaceutical compns. for use in such therapy. A subset of I are novel and are claimed per se. Approx. 160 invention compds. and numerous intermediates were prepd. For instance, reaction of tolyl isocyanate with 2-methoxy-5-(trifluoromethanesulfonyl)aniline in EtOAc gave title compd. II. In an in vitro raf kinase assay, all compds. displayed IC50 values between 1 nM and 10 .mu.M.

AN 1999:421642 CAPLUS

DN 131:58658

Inhibition of raf kinase using symmetrical and unsymmetrical substituted TТ diphenyl ureas

IN Miller, Scott; Osterhout, Martin; Dumas, Jacques; Khire, Uday; Lowinger, Timothy Bruno; Riedl, Bernd; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Gunn, David; Rodriguez, Mareli; Wang, Ming

PA Bayer Corporation, USA

SO PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DT Patent LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ----PΙ WO 9932436 A1 19990701 WO 1998-US26081 19981222 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
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             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                             19981222
     CA 2315646
                       AA
                            19990701
                                            CA 1998-2315646
     AU 9919054
                       A1
                            19990712
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                                                             19981222
     EP 1049664
                       A1
                            20001108
                                            EP 1998-963809
                                                             19981222
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             IE, SI, LT, LV, FI, RO
     JP 2001526258
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                                            JP 2000-525373
                                                             19981222
     BR 9814375
                            20020521
                                            BR 1998-14375
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                       Α
     NO 2000003230
                            20000821
                                            NO 2000-3230
                                                             20000621
                       Α
PRAI US 1997-996344
                       Α
                            19971222
                            19981222
     WO 1998-US26081
     MARPAT 131:58658
os
     228399-74-4P
IT
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of sym. and unsym. substituted di-Ph ureas with inhibitory
        effects on tumors mediated by raf kinase)
     228399-74-4 CAPLUS
RN
     Urea, N-[2-methoxy-5-(trifluoromethyl)phenyl]-N'-[4-(4-
CN
```

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2002 ACS

pyridinyloxy)phenyl] - (9CI) (CA INDEX NAME)

```
AB
     The title compds. I [R1 and R2 represent each H or C1-4 alkyl, or R1 and
     R2 together form C1 to C3 alkylene; X represents O, S or CH2; W represents
    CH or N; and Q represents substituted aryl or substituted heteroaryl] are
    prepd. I inhibit platelet-derived growth factor receptor
     autophosphorylation and are useful in the treatment of cancer, arthritis,
     etc. The title compd. II (prepn. given) (at 100 mg/kg i.p. once daily for
     9 days) increased the survival of mice with transplanted leukemic P388
     cells by 130%.
AN
     1997:414195 CAPLUS
DN
     127:34137
TI
     Preparation of quinoline and quinazoline derivatives inhibiting
    platelet-derived growth factor receptor autophosphorylation
IN
     Kubo, Kazuo; Ohyama, Shinichi; Shimizu, Toshiyuki; Nishitoba, Tsuyoshi;
     Kato, Shinichiro; Murooka, Hideko; Kobayashi, Yoshiko; et al.
PA
     Kirin Beer Kabushiki Kaisha, Japan; Kubo, Kazuo; Ohyama, Shinichi;
     Shimizu, Toshiyuki; Nishitoba, Tsuyoshi; Kato, Shinichiro
SO
     PCT Int. Appl., 243 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
    Japanese
FAN.CNT 1
    PATENT NO.
                    KIND DATE
                                       APPLICATION NO. DATE
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    WO 9717329 A1 19970515 WO 1996-JP3229 19961105
ΡI
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK,
            LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO,
            RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
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            MR, NE, SN, TD, TG
    AU 9673400
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                                        AU 1996-73400
                                                          19961105
    EP 860433
                           19980826
                                        EP 1996-935541
                      A1
                                                         19961105
    EP 860433
                         20020703
                     B1
        R: CH, DE, FR, GB, LI
    US 6143764 A 20001107
                                        US 1998-68660
                                                         19980506
PRAI JP 1995-313555
                      Α
                           19951107
    JP 1996-62121
                    Α
                          19960223
    WO 1996-JP3229
                      W 19961105
os
    MARPAT 127:34137
IT
    190727-78-7P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of quinoline and quinazoline derivs. inhibiting
       platelet-derived growth factor receptor autophosphorylation)
RN
    190727-78-7 CAPLUS
CN
    Urea, N-[2,5-bis(trifluoromethyl)phenyl]-N'-[4-[(6,7-dimethoxy-4-
```

quinolinyl)oxy]phenyl] - (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2002 ACS

AB Anilines RZC6H4NH2 (R = heteroaryl, e.g., 6-chloro-3-pyridazinyl, Z = 0, SO2) were prepd. and converted into their corresponding ureas, carbamates, carboxamides, and benzenesulfonamides by treatment with isocyanates, chloroformates, and acyl halides, resp.

AN 1984:510849 CAPLUS

DN 101:110849

TI Synthesis of potential plant protective agents and pesticides from substituted anilines

AU Kempter, Gerhard; Beerbalk, H. D.

CS Sekt. Chem./Biol., Paedagog. Hochsch. "Karl Liebknecht", Potsdam-Sanssouci, DDR-1500, Ger. Dem. Rep.

Wissenschaftliche Zeitschrift der Paedagogischen Hochschule Karl Liebknecht Potsdam (1983), 27(1), 101-20 CODEN: WPKLAO; ISSN: 0138-290X

DT Journal

LA German

OS CASREACT 101:110849

IT 91619-55-5P

RN 91619-55-5 CAPLUS

CN Urea, N-[4-(3-pyridinyloxy)phenyl]-N'-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

=>

L4 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2002 ACS

$$\begin{array}{c|c} CT_3 & O & O \\ \hline N & N \\ N & N \end{array}$$

The title compds. ADB [I; D = NHCONH; A = substituted moiety of up to 40 carbon atoms of the formula L(ML1)q (wherein L = 5-6 membered cyclic structure; L1 = substituted cyclic moiety having at least 5 members; M = bridging group having al least one atom; q = 1-3; each of L and L1 contains 0-4 members of the group consisting of N, O and S); B = (un)substituted up to tricyclic aryl or heteroaryl moiety of up to 30 carbon atoms with at least one 6-member cyclic structure bound directly to D contg. 0-4 members of the group consisting of N, O and S], useful in treating p38 mediated diseases, were prepd. E.g., a multi-step synthesis of the urea II which showed IC50 of 1-10 .mu.M against p38, was given. Compds. I are effective at 0.01-200 mg/kg/day (oral administration).

AN 2000:493376 CAPLUS

DN 133:120155

TI Preparation of .omega.-carboxy aryl substituted diphenyl ureas as p38 kinase inhibitors

IN Riedl, Bernd; Dumas, Jacques; Khire, Uday; Lowinger, Timothy B.; Scott, William J.; Smith, Roger A.; Wood, Jill E.; Monahan, Mary-Katherine; Natero, Reina; Renick, Joel; Sibley, Robert N.

PA Bayer Corporation, USA

SO PCT Int. Appl., 148 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

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PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
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    WO 2000041698
ΡI
                     A1
                           20000720
                                          WO 2000-US768
                                                           20000113
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
            IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
            SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         EP 2000-905597
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    EP 1158985
                      A1 20011205
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
PRAI US 1999-115878P
                           19990113
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    US 1999-257265
                      A2
                           19990225
    US 1999-425229
                      A2
                           19991022
                           20000113
    WO 2000-US768
                      W
    MARPAT 133:120155
os
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## IT 284461-86-5P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of .omega.-carboxy aryl substituted di-Ph ureas as p38 kinase inhibitors)

RN 284461-86-5 CAPLUS

2-Pyridinecarboxylic acid, 5-[4-[[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)